WHAT IS CLAIMED IS:

1. A method for increasing the target-specific toxicity of a drug, comprising pretargeting an enzyme to a mammalian target site; and

administering a cytotoxic drug known to act at the target site, or a prodrug form thereof which is converted to the drug *in situ,* which drug is also detoxified to form an intermediate of lower toxicity using said mammal's ordinary metabolic processes, whereby the detoxified intermediate is reconverted to its more toxic form by the pretargeted enzyme and thus has enhanced cytotoxicity at the target site.

2. The method of claim 1, wherein said enzyme is a glucuronidase.

3. The method of claim 1, wherein said mammal is a human.

4. The method of claim 1, wherein said drug is any standard chemotherapeutic agent.

5. The method of claim 1, wherein said prodrug is the cancer chemotherapy agent CPT-11, and said detoxified intermediate is SN-38-glucuronide.

6. The method of claim *5,* wherein an esterase that; cleaves CPT-11 to SN-38 also is pretargeted to said target site.

7. The method of claim 1, wherein a bispecific MAb (bsMAb) is used to target said enzyme to said target site, wherein one arm of the bsMAb is targeted against a target site antigen and a second arm of the bsMAb is targeted against a low molecular weight hapten, and wherein said enzyme is conjugated to said hapten.

8. The method of claim 7, wherein a second prodrug cleavage enzyme also is conjugated to said hapten, and wherein the second enzyme conjugate also is pretargeted to said target site.

9. The method of claim 7, wherein said hapten is DTPA or a DTPA chelate.

10. The method of claim 8, wherein said hapten is DTPA or a DTPA chelate.

11. The method of claim 1, wherein additionally, a clearing agent is administered to remove non-targeted pretargeting molecules and/or enzymes from said mammal's circulation prior to administration of said drug or prodrug.

12. The method of claim 11, wherein said clearing agent is an anti-MAb antibody or an anti-idiotype antibody.

13. The method of claim 11, wherein said enzyme is conjugated to a hapten and said clearing agent is an antibody that binds said hapten.

14.. The method of claim 11, wherein said enzyme is conjugated to a Mab and said clearing agent is an anti-idiotypic antibody or anti-idiotypic antibody fragment which is specific for the paratope of said Mab.

15. A kit for human therapeutic use for increasing the target-specific toxicity of a drug, comprising, in suitable containers:

a. a targeting composition selected from the group consisting of:

1. a targeting molecule which specifically binds to a target site, conjugated to an enzyme capable of converting a detoxified drug to its more cytotoxic form; and

2. a targeting molecule which specifically binds to the target site and which is conjugated to a moiety which can directly or indirectly bind to an enzyme capable of converting a detoxified drug to its more cytotoxic form, and, in a separate container, said enzyme in a form capable of binding directly or indirectly to said moiety.

16. The kit of claim 15, wherein said targeting molecule is a Mab or bsMAb.

17. The kit of claim 15, which further comprises a clearing agent for said targeting molecule.

18. The kit of claim 15, which further comprises a cytotoxic drug or a prodrug thereof.

19. The kit of claim 15, which further comprises a clearing agent for said enzyme.